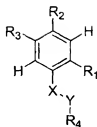


What is claimed is:

1. A compound of the formula



or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

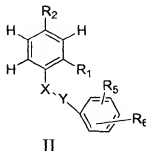
R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group; and

R₄ is an optionally substituted aryl provided that the aryl is not simultaneously substituted with a sulfonamide and a urea or thiourea, and further provided that the aryl is not solely substituted at the ortho-position relative to Y, or R₄ is an optionally substituted HET².

2. The compound of claim 1 having the formula II



or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

- 5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₃ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

- 10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET;

- 15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

- 25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl, the alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

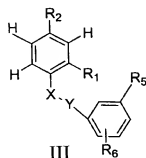
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

- 30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

3. The compound of claim 1 having the formula III



or a pharmaceutically acceptable salt thereof,

5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is -(CH₂)_k-S(O)_r-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

20 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -
 25 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -

NO_2 , and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, $-(\text{CZ}_2)-$, or $-(\text{CHZ}_3)-$;

Z_1 is O;

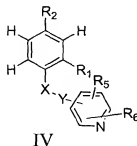
Z_2 is $=\text{O}$, $=\text{S}$, $=\text{N}-\text{OH}$, $=\text{N}-\text{O}-\text{alkyl}$, or $=\text{N}-\text{O}-\text{substituted alkyl}$;

Z_3 is $-\text{OH}$, $-\text{N}=\text{NH}$, $-\text{N}=\text{N}-\text{alkyl}$, $-\text{NH}-\text{alkyl}$, or $-\text{NH}-\text{substituted alkyl}$;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

4. The compound of claim 1 having the formula IV



15 or a pharmaceutically acceptable salt thereof,
wherein

X = NH

Y = CO, CS, $-\text{C}(=\text{N}-\text{CN})$ or

X and Y together form an alkene, or C_3-C_5 cycloalkyl;

20 R_1 is $-\text{HET}^1$, $-\text{CO}-\text{HET}^1$, or $-\text{NH}-\text{S}(\text{O})_2-\text{Q}^1$, the HET^1 being an optionally substituted HET^1 ;

Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R_2 is an electron withdrawing group;

25 R_5 is $-(\text{CH}_2)_k-\text{S}(\text{O})-\text{R}_7$, $-\text{NH}-\text{SO}_2-\text{R}_7$, $-(\text{CH}_2)_k-\text{W}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{NR}_8$, substituted aryl, substituted C_{1-4} alkyl, or substituted C_{1-4} alkenyl;

R_6 is selected from H, halo, HET^2 , $-\text{CN}$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-\text{NH}-\text{CO}-\text{HET}^2$, and $-\text{NH}-\text{CO}-\text{aryl}$;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET^2 , and substituted HET^2 ;

R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

- 5 Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, $-C(=NQ_{16})Q_{16}$, $-S(O)_2-N=S(O)(Q_{16})_2$, $-S(O)_2-N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, $-C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, $-C(O)C(Q_{16})_2OC(O)Q_{16}$, $-CN$, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, $-NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, $-NO_2$, and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=O$ or $=S$;

- 15 Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

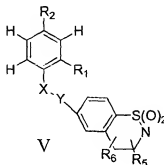
Z_2 is $=O$, $=S$, $=N-OH$, $=N-O$ -alkyl, or $=N-O$ -substituted alkyl;

Z_3 is $-OH$, $-N=NH$, $-N=N$ -alkyl, $-NH$ -alkyl, or $-NH$ -substituted alkyl;

- 20 i is 0, 1, or 2; and

k is 0, 1, or 2.

5. The compound of claim 1 having the formula V



25

or a pharmaceutically acceptable salt thereof,

wherein

$X = NH$

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

- 5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₃ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

- 10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

- 15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂N=S(O)(Q₁₆)₂, -S(O)₂N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

- 25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

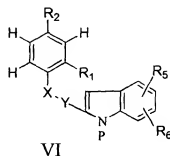
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

- 30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

6. The compound of claim 1 having the formula VI



or a pharmaceutically acceptable salt thereof,

- 5 wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally

- 10 substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

P is Q₁₆;

R₂ is an electron withdrawing group;

- 15 R₅ is -(CH₂)_k-S(O)_l-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂,

- 20 HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently

- 25 selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO_2 , and $-\text{SNQ}_{16}\text{Q}_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=\text{O}$ or $=\text{S}$;

Each Q_{16} is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, $-(\text{CZ}_2)-$, or $-(\text{CHZ}_3)-$;

Z_1 is O;

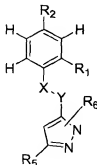
Z_2 is $=\text{O}$, $=\text{S}$, $=\text{N}-\text{OH}$, $=\text{N}-\text{O}-\text{alkyl}$, or $=\text{N}-\text{O}-\text{substituted alkyl}$;

Z_3 is $-\text{OH}$, $-\text{N}=\text{NH}$, $-\text{N}=\text{N}-\text{alkyl}$, $-\text{NH}-\text{alkyl}$, or $-\text{NH}-\text{substituted alkyl}$;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

7. The compound of claim 1 having the formula VII



VII

15

or a pharmaceutically acceptable salt thereof,

wherein

$\text{X} = \text{NH}$

$\text{Y} = \text{CO}$, CS , $-\text{C}(=\text{N}-\text{CN})$ or

20 X and Y together form an alkene, or C_3-C_5 cycloalkyl;

R_1 is $-\text{HET}^1$, $-\text{CO}-\text{HET}^1$, or $-\text{NH}-\text{S}(\text{O})_2-\text{Q}^1$, the HET^1 being an optionally substituted HET^1 ;

Q_1 is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R_2 is an electron withdrawing group;

R_5 is $-(\text{CH}_2)_k-\text{S}(\text{O})_i-\text{R}_7$, $-\text{NH}-\text{SO}_2-\text{R}_7$, $-(\text{CH}_2)_k-\text{W}-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{R}_8$, $-\text{NH}-(\text{CZ}_1)-\text{NR}_8$, substituted aryl, substituted $\text{C}_{1-4}\text{alkyl}$, or substituted $\text{C}_{1-4}\text{alkenyl}$;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

- 5 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

- Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -
- 10 C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally
- 15 substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

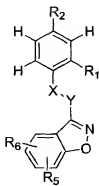
- 20 Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

- 25 8. The compound of claim 1 having the formula VIII



VIII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

5 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R₂ is an electron withdrawing group;

R₃ is H, halo, NO₂, CN, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈ -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl, substituted HET, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

15 R₆ is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, or substituted C₁₋₄alkenyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

20 Each R₈ is independently H, alkyl, substituted alkyl, -OQ₁₆, aryl, substituted aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R₈ substituents when attached to the same atom may be taken together to form a 5-8 membered ring, wherein the ring includes the atom to which the two R₈ substituents attach;

25 Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆,
30 -(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q_{16} is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-, provided that W is not S or O when R₅ or R₆

are -(CH₂)_k-W-OR₁₆;

Z₁ is =O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

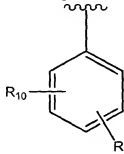
i is 0, 1, or 2; and

k is 0, 1, or 2.

9. The compound of claim 8, wherein at least one of R₅ and R₆ is a substituted phenyl or substituted HET.

10. The compound of claim 9, wherein at least one of R₅ and R₆ is pyridine, pyrimidine, pyridazine, or pyrazine, each of which is optionally substituted with the substituents described for substituted HET.

11. The compound of claim 9, wherein the substituted phenyl has the formula

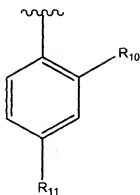


, wherein each R₁₀ and R₁₁ is selected from -F, -Cl, -Br, -I,

-OQ₁₆, -Q₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

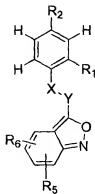
NO₂, and -SNQ₁₆Q₁₆.

12. The compound of claim 8, wherein the substituted phenyl has the formula



13. The compound of claim 8, wherein one of R₅ or R₆ is -NH-(CZ₁)-NR₈R₈.
- 5 14. The compound of claim 13, wherein -NR₈R₈ forms a 5-8 membered ring.
15. The compound of claim 14, wherein the ring is morpholino, pyrrolidinyl, or piperidinyl.
- 10 16. The compound of 13, wherein at least one of the R₈ substituents is benzyl or -CH₂-substituted phenyl.
17. The compound of claim 8, wherein one of R₅ or R₆ is -(CH₂)_k-S(O)_r-R₇ or -NH-SO₂-R₇.
- 15 18. The compound of claim 17, wherein R₇ is het, substituted het, alkyl, or substituted alkyl.
19. The compound of claim 18, wherein het is indolinyl, pyrrolindinyl, or indolyl,
- 20 pyrrolyl.
20. The compound of claim 18, wherein substituted het includes a het substituent substituted with 1-3 of halo or CN.
- 25 21. The compound of claim 18, wherein substituted alkyl is an alkyl substituted with 1-3 of OH, NH₂, NHQ₁₆, -NR₈R₈.

22. The compound of claim 1 having the formula XXX



XXX

5 or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is H, halo, NO₂, CN, -(CH₂)_k-S(O)_l-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, -(CH₂)_k-NR₈R₈, substituted aryl, substituted HET, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, aryl, substituted aryl, HET, substituted HET, -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -(CH₂)_k-S(O)_l-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -(CZ₁)-NH-R₈, -NH-(CZ₁)-NR₈R₈, or substituted C₁₋₄alkenyl;

20 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET, and substituted HET;

Each R₈ is independently H, alkyl, substituted alkyl, -OQ₁₆, aryl, substituted aryl, HET, substituted HET, cycloalkyl, and substituted cycloalkyl, or two R₈ substituents when attached to the same atom may be taken together to form a 5-8

membered ring, wherein the ring includes the atom to which the two R₈ substituents attach;

- Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, cycloalkyl, phenyl, benzyl, -CH₂-substituted phenyl, and Het in which each of alkyl, cycloalkyl, phenyl, and Het optionally include 1-3 halos;

- W is O, S, -(CZ₂)-, or -(CHZ₃)-, provided that W is not S or O when R₅ or R₆ are -(CH₂)_k-W-OR₁₆;

Z₁ is =O;

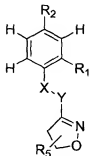
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

- i is 0, 1, or 2; and

k is 0, 1, or 2.

23. The compound of claim 1 having the formula IX



IX

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

- 5 Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

R₃ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

- 10 R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

- 15 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

- 25 Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

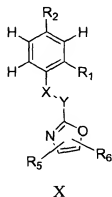
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

- 30 Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

24. The compound of claim 1 having the formula X



5 or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

X and Y together form an alkene, or C₃-C₅ cycloalkyl;

10 R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

R₂ is an electron withdrawing group;

15 R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, -CN, NH₂, NO₂, alkyl;

R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

20 R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently

25 selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(O)Q₁₆, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -

NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

5 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

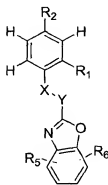
Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

10 k is 0, 1, or 2.

25. The compound of claim 1 having the formula XI



XI

15

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

20 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

25 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R_6 is selected from H, halo, HET^2 , $-CN$, NH_2 , NO_2 , alkyl, substituted alkyl, alkoxy, substituted alkoxy, $-NH-CO-HET^2$, and $-NH-CO-aryl$;

R_7 is selected from alkyl, substituted alkyl, aryl, substituted aryl, $-N(Q_{15})_2$, HET^2 , and substituted HET^2 ;

- 5 R_8 is H, alkyl, substituted alkyl, aryl, substituted aryl, HET^2 , substituted HET^2 , cycloalkyl, substituted cycloalkyl;

Each Q_{15} is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl, phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from $-F$, $-Cl$, $-Br$, $-I$, $-OQ_{16}$, $-SQ_{16}$, $-S(O)_2Q_{16}$, $-S(O)Q_{16}$, $-OS(O)_2Q_{16}$, $-$

- 10 $C(=NQ_{16})Q_{16}$, $-S(O)_2N=S(O)(Q_{16})_2$, $-S(O)_2N=S(Q_{16})_2$, $-SC(O)Q_{16}$, $-NQ_{16}Q_{16}$, $-C(O)Q_{16}$, $-C(S)Q_{16}$, $-C(O)OQ_{16}$, $-OC(O)Q_{16}$, $-C(O)NQ_{16}Q_{16}$, $-C(S)NQ_{16}Q_{16}$, $-C(O)C(Q_{16})_2OC(O)Q_{16}$, $-CN$, $-NQ_{16}C(O)Q_{16}$, $-NQ_{16}C(S)Q_{16}$, $-NQ_{16}C(O)NQ_{16}Q_{16}$, $-NQ_{16}C(S)NQ_{16}Q_{16}$, $-S(O)_2NQ_{16}Q_{16}$, $-NQ_{16}S(O)_2Q_{16}$, $-NQ_{16}S(O)Q_{16}$, $-NQ_{16}SQ_{16}$, $-NO_2$, and $-SNQ_{16}Q_{16}$. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with $=O$ or $=S$;

Each Q_{16} is independently selected from $-H$, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

W is O, S, $-(CZ_2)-$, or $-(CHZ_3)-$;

Z_1 is O;

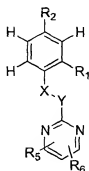
- 20 Z_2 is $=O$, $=S$, $=N-OH$, $=N-O-alkyl$, or $=N-O-substituted alkyl$;

Z_3 is $-OH$, $-N=NH$, $-N=N-alkyl$, $-NH-alkyl$, or $-NH-substituted alkyl$;

i is 0, 1, or 2; and

k is 0, 1, or 2.

- 25 26. The compound of claim 1 having the formula XII



XII

or a pharmaceutically acceptable salt thereof,

wherein

X = NH

Y = CO, CS, -C(=N-CN) or

5 X and Y together form an alkene, or C₃-C₅ cycloalkyl;

R₁ is -HET¹, -CO-HET¹, or -NH-S(O)₂-Q¹, the HET¹ being an optionally substituted HET¹;

Q₁ is selected from the group consisting of H, optionally substituted alkyl, or optionally substituted aryl;

10 R₂ is an electron withdrawing group;

R₅ is -(CH₂)_k-S(O)_i-R₇, -NH-SO₂-R₇, -(CH₂)_k-W-R₈, -NH-(CZ₁)-R₈, -NH-(CZ₁)-NR₈, substituted aryl, substituted C₁₋₄alkyl, or substituted C₁₋₄alkenyl;

R₆ is selected from H, halo, HET², -CN, NH₂, NO₂, alkyl, substituted alkyl, alkoxy, substituted alkoxy, -NH-CO-HET², and -NH-CO-aryl;

15 R₇ is selected from alkyl, substituted alkyl, aryl, substituted aryl, -N(Q₁₅)₂, HET², and substituted HET²;

R₈ is H, alkyl, substituted alkyl, aryl, substituted aryl, HET², substituted HET², cycloalkyl, substituted cycloalkyl;

Each Q₁₅ is independently H, alkyl, cycloalkyl, heterocycloalkyl, heteroaryl,
 20 phenyl, or naphthyl, each optionally substituted with 1-4 substituents independently selected from -F, -Cl, -Br, -I, -OQ₁₆, -SQ₁₆, -S(O)₂Q₁₆, -S(O)Q₁₆, -OS(O)₂Q₁₆, -C(=NQ₁₆)Q₁₆, -S(O)₂-N=S(O)(Q₁₆)₂, -S(O)₂-N=S(Q₁₆)₂, -SC(O)Q₁₆, -NQ₁₆Q₁₆, -C(O)Q₁₆, -C(S)Q₁₆, -C(O)OQ₁₆, -OC(O)Q₁₆, -C(O)NQ₁₆Q₁₆, -C(S)NQ₁₆Q₁₆, -C(O)C(Q₁₆)₂OC(O)Q₁₆, -CN, -NQ₁₆C(O)Q₁₆, -NQ₁₆C(S)Q₁₆, -NQ₁₆C(O)NQ₁₆Q₁₆, -NQ₁₆C(S)NQ₁₆Q₁₆, -S(O)₂NQ₁₆Q₁₆, -NQ₁₆S(O)₂Q₁₆, -NQ₁₆S(O)Q₁₆, -NQ₁₆SQ₁₆, -NO₂, and -SNQ₁₆Q₁₆. The alkyl, cycloalkyl, and cycloalkenyl being further optionally substituted with =O or =S;

Each Q₁₆ is independently selected from -H, alkyl, and cycloalkyl. The alkyl and cycloalkyl optionally including 1-3 halos;

30 W is O, S, -(CZ₂)-, or -(CHZ₃)-;

Z₁ is O;

Z₂ is =O, =S, =N-OH, =N-O-alkyl, or =N-O-substituted alkyl;

Z₃ is -OH, -N=NH, -N=N-alkyl, -NH-alkyl, or -NH-substituted alkyl;

i is 0, 1, or 2; and

k is 0, 1, or 2.

27. The compound of claim 1, wherein Y is --CO-- .
28. The compound of claim 1, wherein Y is --CS-- .
29. The compound of claim 1, wherein X-Y is --C=C-- .
30. The compound of claim 1, wherein is cyclopropyl.
31. The compound of claim 1, wherein R_2 is halo, --CN , --NO_2 , HET^2 , substituted HET^2 , aryl, substituted aryl, --(CO)--alkyl , $\text{--(CO)--substituted alkyl}$, --(CO)--aryl , $\text{--(CO)--substituted aryl}$, --(CO)--O-alkyl , $\text{--(CO)--O-substituted alkyl}$, --(CO)--O-aryl , $\text{--(CO)--O-substituted aryl}$, $\text{--OC(Z}_n\text{)}_3$, $\text{--C(Z}_n\text{)}_3$, $\text{--C(Z}_n\text{)}_2\text{--O--C(Z}_m\text{)}_3$, $\text{--SO}_2\text{--C(Z}_n\text{)}_3$, $\text{--SO}_2\text{--aryl}$, $\text{--CN(Q}_{17}\text{)}_2$, $\text{--C(NQ}_{17}\text{)Q}_{17}$, $\text{--CH=C(Q}_{17}\text{)}_2$, or $\text{--C}\equiv\text{C--Q}_{17}$, in which each Z_n and Z_m is independently H, halo, --CN , --NO_2 , --OH , or $\text{C}_{1-4}\text{alkyl}$ optionally substituted with 1-3 halo, --OH , NO_2 , provided that at least one of Z_n is halo, --CN , or NO_2 .
32. The compound of claim 31, wherein R_2 is Br, Cl, F, I, --CN , formyl, acetyl, methoxyimino, hydroxyimino, $\text{--CH}_2\text{--halo}$, $\text{CH}_2\text{--CN}$, phenyl, thienyl, pyrazinyl, 1-methyl-1H-pyrrol-2-yl, pyridin-2-yl, chlorophenyl, nitrophenyl, cyanophenyl, chlorothieryl, methylthienyl, fluorophenyl, (trifluoromethyl)phenyl, di(trifluoromethyl)phenyl, difluorophenyl, dimethylisoxazolyl, dimethoxypyrimidinyl.
33. The compound of claim 1, wherein R_5 is --NH_2 , $\text{--SO}_2\text{--NH--alkyl}$, $\text{--SO}_2\text{--NH--substituted alkyl}$, $\text{--SO}_2\text{--NH--aryl}$, $\text{--NH--SO}_2\text{--aryl}$, $\text{--SO}_2\text{--NH--substituted aryl}$, $\text{--NH--SO}_2\text{--substituted aryl}$, $\text{--SO}_2\text{--NH--HET}^2$, $\text{--SO}_2\text{--NH--substituted HET}^2$, $\text{--SO}_2\text{--N(alkyl)(substituted alkyl)}$, $\text{--SO}_2\text{--N(alkyl)(aryl)}$, $\text{--SO}_2\text{--N(alkyl)(substituted aryl)}$, $\text{--SO}_2\text{--N(alkyl)(HET}^2\text{)}$, $\text{--SO}_2\text{--N(alkyl)(substituted HET}^2\text{)}$, --S--alkyl , $\text{--S--substituted alkyl}$, --O--alkyl , --O--aryl , $\text{--S--substituted alkyl}$, $\text{--CH}_2\text{--S--alkyl}$, $\text{--CH}_2\text{--S--substituted alkyl}$, $\text{--(CH}_2\text{)}_2\text{--S--alkyl}$, $\text{--(CH}_2\text{)}_2\text{--S--substituted alkyl}$, --C(O)--aryl , --C(O)H , --C(OH)--aryl , $\text{--C(N--OCH}_3\text{)--aryl}$, --C(N--OH)--aryl , $\text{--C(O)--C}_{1-6}\text{cycloalkyl}$, $\text{--NH--C(O)--O--C}_{1-4}\text{alkyl}$, --NH--C(O)--aryl , -

NH-C(O)-substituted aryl, -NH-C(O)-HET², -NH-C(O)-substituted HET², -NHC(O)NH-aryl, -NHC(O)NH-substituted aryl, -NHC(O)NH-HET², -NHC(O)NH-substituted HET².

- 5 34. The compound of claim 33, wherein R₅ is (diethylamino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethylamino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzylamino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethylanylino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl)amino]sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-chlorophenyl)(methyl)amino]sulfonyl, benzylthio, methyl(pyridin-2-yl)amino]sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino}sulfonyl, {methyl[(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfanyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilino)carbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, -

- (trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl,
- 5 dihalophenyl, amino, acetylamino, benzoylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, benzylsulfonylamino, benzyloxy, hydroxy, 3-phenoxypropoxy, (2,3-dihydro-1,4-benzodioxin-2-yl)methoxy, cyclobutylmethoxy, (2,2-dimethyl-1,3-dioxolan-4-yl)methoxy, 2,3-dihydroxypropoxy, cyclobutyl, 2-methoxy-1-methylethoxy, isopropoxy, cyclopropylmethoxy,
- 10 cyclohexylmethoxy, 2-methoxyethoxy, tetrahydro-2H-pyran-2-yl-methoxy, (oxiran-2-yl)methoxy, 2-hydroxy-3-isopropoxypropoxy, furylmethoxy, pentyloxy, phenylacetylamino, Benzoylamino, Acetyloxyacetylamino, cyclopentylcarbonylamino, 6-Chloropyridin-3-ylcarbonylamino, isoxazol-5-ylcarbonylamino, 2,4-difluorobenzoylamino, fluoroacetylamino, Acetylamino, 4-Chlorophenylacetylamino,
- 15 4-methoxyphenylacetylamino, cyclopentylacetylamino, 3-fluorobenzoylamino, 3-cyanophenylacetylamino, cyclohexylcarbonylamino, propionylamino, 5-methoxy-5-oxopentanoylamino, Butyrylamino, 4-Bromobenzoylamino, 3-phenylpropanoylamino, phenoxyacetylamino, 3-cyclopentylpropanoylamino, 3-methoxy-3-oxopropanoylamino, 2-ethylhexanoylamino, 3,4-dimethoxyphenylacetylamino, 3,5,5-trimethylhexanoylamino, cyclopropylcarbonylamino, methoxyacetylamino, 3-
- 20 methylbutanoylamino, pentanoylamino, 4,7,7-trimethyl-3-oxo-2-oxabicyclo[2.2.1]hept-1-ylcarbonylamino, Chloro(phenyl)acetylamino, Benzyloxyacetylamino, 3-ethoxy-3-oxopropanoylamino, 1-Adamantylcarbonylamino, hexanoylamino, 2-phenylcyclopropanoylamino, 2-phenylbutanoylamino,
- 25 heptanoylamino, Acetyloxyphenylacetylamino, thien-2-ylcarbonylamino, 2-methylbutanoylamino, 8-methoxy-8-oxooctanoylamino, 2-ethylbutanoylamino, octanoylamino, cyclobutylcarbonylamino, 1,3-dioxo-1,3-dihydro-2H-isoindol-2-yl, Benzylthio, morpholin-4-ylsulfonylbenzoylamino, 1H-indol-2-ylcarbonylamino, 1-methyl-1H-indol-2-ylcarbonylamino, 5-phenylisoxazol-3-ylcarbonylamino, 5-
- 30 phenylpentanoylamino, 4-phenylbutanoylamino, 4-(4-methoxyphenyl)butanoylamino, 2-Chlorophenylacetylamino, 2,4-dichlorophenylacetylamino, 3,4-dichlorophenylacetylamino, 3-Chlorophenylacetylamino, 3-(trifluoromethyl)phenylacetylamino, 3-methylphenylacetylamino, 4-tert-

- Butylphenylacetyl amino, 3-methoxyphenylacetyl amino, 2-methoxyphenylacetyl amino, 2-methylphenylacetyl amino, 4-(trifluoromethyl)phenylacetyl amino, 4-isopropylphenylacetyl amino, 4-methylphenylacetyl amino, 4-fluorophenylacetyl amino, 2-
- 5 (trifluoromethyl)phenylacetyl amino, 3-fluorophenylacetyl amino, phenylthioacetyl amino, naphthylacetyl amino, naphthyl oxyacetyl amino, 2-propoxybenzoyl amino, tetrahydrofuran-3-ylcarbonyl amino, 1-methylcyclopropylcarbonyl amino, 4-ethoxyphenylacetyl amino, 1-Benzothien-3-ylacetyl amino, 1,1'-Biphenyl-4-ylcarbonyl amino, 4-Butoxybenzoyl amino, 2-(2-
- 10 phenylethyl)benzoyl amino, 1,1'-Biphenyl-2-ylcarbonyl amino, 4-(ethylthio)benzoyl amino, 2-(methylsulfonyl)benzoyl amino, 2,6-dichlorophenylacetyl amino, 1,1'-Biphenyl-4-ylacetyl amino, 1,3-Benzodioxol-5-ylacetyl amino, 3,3-dimethylbutanoyl amino, thien-2-ylacetyl amino, 3-methyl-5-
- 15 hydroxybenzoyl amino, prolyl amino, (3-methylisoxazol-5-yl)acetyl amino, 4-Azido-3-iodobenzoyl amino, (diethyl amino)sulfonyl, (1H-indol-5-yl)aminosulfonyl, (furylmethyl amino)sulfonyl, (ethoxycarbonyl)-1-piperazinylsulfonyl, pyridinylethylaminosulfonyl, (benzyl amino)sulfonyl, (2-hydroxy-1-methylethyl)aminosulfonyl, (4-carboxyanilino)sulfonyl, (3,4-dihydro-1(2H)-
- 20 quinolinyl)sulfonyl, [2-(3,5-dimethoxyphenyl)ethyl]aminosulfonyl, [(3S)-3-hydroxypyrrolidinyl]sulfonyl, (ethyl anilino)sulfonyl, (3,5-dimethoxyanilino)sulfonyl, (2-hydroxy-2-phenylethyl)(methyl amino)sulfonyl, (2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-methoxy-2,3-dihydro-1H-indol-1-yl)sulfonyl, (5-fluoro-2,3-dihydro-1H-indol-1-yl)sulfonyl, (1H-benzimidazol-1-yl)sulfonyl, (5-fluoro-1H-indol-1-
- 25 yl)sulfonyl, (1H-indol-1-yl)sulfonyl, (6-fluoro-1H-indol-1-yl)sulfonyl, (5-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-1H-indol-1-yl)sulfonyl, (6-chloro-5-fluoro-1H-indol-1-yl)sulfonyl, (1H-pyrrol-1-yl)sulfonyl, (5-methoxy-1H-indol-1-yl)sulfonyl, (1H-pyrrolo[2,3-b]pyridin-1-yl)sulfonyl, (5-bromo-2,3-dihydro-1H-indol-1-yl)sulfonyl, (3,3-dimethyl-2,3-dihydro-1H-indol-1-yl)sulfonyl, (4-
- 30 chlorophenyl)(methyl amino)sulfonyl, benzylthio, methyl(pyridin-2-yl amino)sulfonyl, (1H-indol-1-yl)sulfonyl, (pyrrolidin-1-yl)sulfonyl, (2-methylpyrrolidin-1-yl)sulfonyl, (morpholin-4-yl)sulfonyl, (piperidin-1-yl)sulfonyl, (methoxy-1H-indol-1-yl)sulfonyl, {methyl[(1R)-1-phenylethyl]amino}sulfonyl,

{methyl[(1S)-1-phenylethyl]amino}sulfonyl, [(2-aminophenyl)(methyl)amino]sulfonyl, (dipropylamino)sulfonyl, benzylsulfonyl, (dipropylamino)sulfanyl, (dipropylamino)sulfinyl, [4-chloro(methyl)anilino]sulfonyl, (phenylthio)methyl, benzyloxy, 3-(ethylthio), (pyridin-4-ylmethyl)thio, phenoxy, phenylthio, (pyridin-4-ylmethyl)thio, benzylthio, (1-phenylethyl)thio, cyclopentylthio, cyclopentylsulfinyl, benzoyl, hydroxy(phenyl)methyl, (methoxyimino)(phenyl)methyl, (hydroxyimino)(phenyl)methyl, cyclopentylcarbonyl, benzoylamino, furoylamino, (thien-2-ylacetyl)amino, (mesitylcarbonyl)amino, (1,3-benzodioxol-5-ylcarbonyl)amino, 3-(2,4-dimethoxybenzoyl)amino, (phenylthio)acetylamino, (anilino)carbonyl)amino, (2,4-difluorophenyl)amino carbonylamino, (3-cyanophenyl)aminocarbonylamino, (3-acetylphenyl)aminocarbonylamino, - (trifluoromethoxy)phenylsulfonylamino, (thien-2-ylacetyl)amino, (5-nitro-2-furoyl)amino, (5-chloro-2-methoxyphenyl)aminocarbonylamino, (4-phenoxyphenyl)aminocarbonylamino, (4-acetylphenyl)aminocarbonylamino, phenylethynyl, 2-phenylethyl, 4-Chlorophenyl, benzyloxy, phenoxy, alkylthio, phenyl, dihalophenyl, amino, acetylamino, benzoylamino, phenylacetylamino, methylsulfonylamino, phenylsulfonylamino, and benzylsulfonylamino.

35. The compound of claim 1, wherein R₆ is H, halo, -CN, NH₂, NO₂, methyl, methoxy, -(CH₂)₂-OH, morpholinyl, and -(CH₂)₂-O-CO-CH₃.

36. The compound of claim 1, wherein R₁ is 5-oxo-4,5-dihydro-1,2,4-oxadiazol-3-yl, 5-oxo-4,5-dihydro-1,3,4-oxadiazol-2-yl, methylsulfonylaminocarbonyl, 4-methylphenylsulfonylaminocarbonyl, 1H-tetraazol-5-yl, hydrazinocarbonylphenyl, 5-thio-4,5-dihydro-1,3,4-oxadiazol-2-yl, 1,1-dioxido-2H-1,2,4-benzothiadiazin-3-yl, 4-oxo-3,4-dihydroquinazolin-2-yl, amino(hydroxyimino)methyl, 2H-tetraazol-2-yl-methyl pivalate.

37. A method for sanitizing or disinfecting comprising administering an effective amount of the antibacterial compound of claim 1.